WHAT IS CLAIMED IS:

1. A compound of the formula (I):

$$R_{B} \xrightarrow{NH_{2}} N R''$$

$$R_{A} \xrightarrow{R_{1}} I$$

wherein:

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 R_1 is selected from the group consisting of:

 $-X'-C(O)-N(R_1')(R_1'')$ and

$$-X''-C(O)-N$$
 $(CH_2)_b$
 A'
 $(CH_2)_b$

10 X' is selected from the group consisting of -CH(R_9)-, -CH(R_9)-alkylene-, and -CH(R_9)-alkenylene-;

X" is selected from the group consisting of $-CH(R_9)$ -, $-CH(R_9)$ -alkylene-, and $-CH(R_9)$ -alkenylene-; wherein the alkylene and alkenylene are optionally interrupted with one or more -O- groups;

 R_1 ' and R_1 " are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

20 arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

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hydroxy,
                                   alkyl,
                                   haloalkyl,
                                   hydroxyalkyl,
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                                   alkoxy,
                                   haloalkoxy,
                                   halogen,
                                   cyano,
                                   nitro,
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                                   amino,
                                   alkylamino,
                                   dialkylamino,
                                   arylsulfonyl, and
                                   alkylsulfonyl;
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                  A' is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and
         -N(Q-R_4)-;
                  a and b are independently integers from 1 to 6 with the proviso that a + b is \leq 7;
                  R<sub>A</sub> and R<sub>B</sub> are independently selected from the group consisting of:
                          hydrogen,
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                          halogen,
                          alkyl,
                          alkenyl,
                          alkoxy,
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or R_A and R_B taken together form either a fused arryl ring that is unsubstituted or substituted by one or more R_a groups, or a fused 5 to 7 membered saturated ring that is unsubstituted or substituted by one or more R_c groups;

alkylthio, and

 $-N(R_9)_2$;

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or R_A and R_B taken together form a fused heteroaryl or 5 to 7 membered saturated ring containing one heteroatom selected from the group consisting of N and S, wherein the heteroaryl ring is unsubstituted or substituted by one or more R_b groups, and the 5 to 7 membered saturated ring is unsubstituted or substituted by one or more R_c groups;

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R<sub>a</sub> is selected from the group consisting o f:
                                 halogen,
                                 alkyl,
                                 haloalkyl,
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                                 alkoxy, and
                                 -N(R_9)_2;
                 R<sub>b</sub> is selected from the group consisting of:
                                 halogen,
                                 hydroxy,
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                                 alkyl,
                                 haloalkyl,
                                 alkoxy, and
                                 -N(R_9)_2;
                 R<sub>c</sub> is selected from the group consisting of
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                                 halogen,
                                 hydroxy,
                                 alkyl,
                                 alkenyl,
                                 haloalkyl,
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                                 alkoxy,
                                 alkylthio, and
                                 -N(R_9)_2;
                 Q is selected from the group consisting of a bond, -C(R_6)-, -C(R_6)-, -C(R_6)-, -S(O)_2-,
         -C(R_6)-N(R_8)-W-, -S(O)_2-N(R_8)-, -C(R_6)-O-, and -C(R_6)-N(OR_9)-;
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                 W is selected from the group consisting of a bond, -C(O)-, and -S(O)<sub>2</sub>-;
                 R<sub>4</sub> is selected from the group consisting of Lydrogen, alkyl, alkenyl, alkynyl, aryl,
         arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl,
         heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl,
         alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkyl arylenyl, heteroaryl,
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        heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups
         are unsubstituted or substituted by one or more substituents independently selected from
        the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro,
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hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroary1, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkyny1, and heterocyclyl, oxo;

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R₆ is selected from the group consisting of =O and =S;

R₈ is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

R₉ is selected from the group consisting of hydrogen and alk yl; and R" is hydrogen or a non-interfering substituent;

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with the proviso that when R_A and R_B form a fused heteroary 1 or 5 to 7 membered saturated ring containing one heteroatom selected from the group cornsisting of N and S, wherein the heteroaryl ring is unsubstituted or substituted by one or more R_b groups, and the 5 to 7 membered saturated ring is unsubstituted or substituted by one or more R_c groups, then R_1 can also be -X"-C(O)-N(R_1 ')(R_1 ");

or a pharmaceutically acceptable salt thereof.

2. A compound of the formula (II):

$$R_{B}$$
 R_{A}
 R_{A}
 R_{A}
 R_{A}

wherein:

 R_1 is selected from the group consisting of:

-X'-C(O)-N(R₁')(R₁") and
$$-X"-C(O)-N(R_1')(R_1") \text{ and } \\ -X"-C(O)-N(CH_2)_a \\ (CH_2)_b \\ \vdots$$

X' is selected from the group consisting of -CH(R₉)-, -CH(R₉)-alkylene-, and -CH(R₉)-alkenylene-;

X" is selected from the group consisting of -CH(R₉)-, -CH(R₉)-alkylene-, and

-CH(R₉)-alkenylene-; wherein the alkylene and alkenylene are optionally interrupted with

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one or more -O- groups;
                 R_{1}' and R_{1}" are independently selected from the group consisting of:
                         hydrogen,
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                         alkyl,
                         alkenyl,
                         aryl,
                         arylalkylenyl,
                         heteroaryl,
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                         heteroarylalkylenyl,
                         heterocyclyl,
                         heterocyclylalkylenyl, and
                         alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
                 heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents
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                 selected from the group consisting of:
                                hydroxy,
                                 alkyl,
                                 haloalkyl,
                                hydroxyalkyl,
20
                                alkoxy,
                                haloalkoxy,
                                halogen,
                                cyano,
                                nitro,
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                                amino,
                                alkylamino,
                                dialkylamino,
                                arylsulfonyl, and
                                alkylsulfonyl;
                A' is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0.2</sub>-, and
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         -N(Q-R_4)-;
                a and b are independently integers from 1 to 6 with the proviso that a + b is \leq 7;
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R<sub>A</sub> and R<sub>B</sub> are independently selected from the group consisting of:
                           hydrogen,
                          halogen,
                           alkyl,
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                           alkenyl,
                          alkoxy,
                          alkylthio, and
                          -N(R_9)_2;
                  or R_A and R_B taken together form either a fused aryl ring that is unsubstituted or
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          substituted by one or more Ra groups, or a fused 5 to 7 membered saturated ring that is
          unsubstituted or substituted by one or more R<sub>c</sub> groups;
                  or R<sub>A</sub> and R<sub>B</sub> taken together form a fused heteroaryl or 5 to 7 membered saturated
          ring containing one heteroatom selected from the group consisting of N and S, wherein the
          heteroaryl ring is unsubstituted or substituted by one or more R<sub>b</sub> groups, and the 5 to 7
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          membered saturated ring is unsubstituted or substituted by one or more Rc groups;
                  R<sub>a</sub> is selected from the group consisting of:
                                  halogen,
                                   alkyl,
                                  haloalkyl,
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                                   alkoxy, and
                                   -N(R_9)_2;
                 R<sub>b</sub> is selected from the group consisting of:
                                  halogen,
                                  hydroxy,
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                                  alkyl,
                                  haloalkyl,
                                  alkoxy, and
                                  -N(R_9)_2;
                 R<sub>c</sub> is selected from the group consisting of:
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                                  halogen,
                                  hydroxy,
                                  alkyl,
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alkenyl,
haloalkyl,
alkoxy,
alkylthio, and
-N(R₉)₂;

R₂ is selected from the group consisting of:

-R₄, -X-R₄, -X-Y-R₄, and -X-R₅;

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X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups are optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

-S(O)₀₋₂-,
-S(O)₂-N(R₈)-,
-C(R₆)-,
-C(R₆)-O-,
-O-C(R₆)-,
-O-C(O)-O-,
-N(R₈)-Q-,
-C(R₆)-N(R₈)-,
-O-C(R₆)-N(R₈)-,

 R_{10} , $-N-C(R_6)-N-W R_7$

 $-N-R_7-N-Q-$

$$-V-N$$
 R_{10} , and
 R_{10}
 R_{10}

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroarylalkylenyl, alkylarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:

$$-N-C(R_{6}) \qquad -N-S(O)_{2} \qquad -V-N \qquad A \qquad -N-C(R_{6})-N \qquad A \qquad (CH_{2})_{a} \qquad A \qquad R_{10} \qquad (CH_{2})_{b} \qquad A \qquad A \qquad (CH_{2})_{b} \qquad$$

 R_6 is selected from the group consisting of =O and =S;

 R_7 is C_{2-7} alkylene;

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 R_8 is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

 R_{10} is C_{3-8} alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)₀₋₂-, -CH₂-, and -N(R₄)-;

Q is selected from the group consisting of a bond, $-C(R_6)$ -, $-C(R_6)$ -, $-C(R_6)$ -, $-S(O)_2$ -, $-C(R_6)$ - $N(R_8)$ -W-, $-S(O)_2$ - $N(R_8)$ -, $-C(R_6)$ - $N(R_8)$ -, $-C(R_6)$ - $N(OR_9)$ -;

V is selected from the group consisting of $-C(R_6)$ -, $-O-C(R_6)$ -, $-N(R_8)-C(R_6)$ -, and

 $-S(O)_2$ -; and

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W is selected from the group consisting of a bond, -C(O)-, and $-S(O)_2$ -;

with the proviso that when R_A and R_B form a fused heteroaryl or 5 to 7 membered saturated ring containing one heteroatom selected from the group consisting of N and S, wherein the heteroaryl ring is unsubstituted or substituted by one or more R_b groups, and the 5 to 7 membered saturated ring is unsubstituted or substituted by one or more R_c groups, then R_1 can also be -X"-C(O)-N(R_1 ')(R_1 "); or a pharmaceutically acceptable salt thereof.

3. A compound of the formula (III):

$$R_{B1}$$
 R_{A1}
 R_{1-1}
 R_{1-1}

wherein:

 R_{1-1} is selected from the group consisting of:

-X'-C(O)-N(R₁')(R₁") and
$$-X"-C(O)-N (CH2)a A' (CH2)b;$$

X' is selected from the group consisting of -CH(R₉)-, -CH(R₉)-alkylene-, and -CH(R₉)-alkenylene-;

X" is selected from the group consisting of $-CH(R_9)$ -, $-CH(R_9)$ -alkylene-, and $-CH(R_9)$ -alkenylene-; wherein the alkylene and alkenylene are optionally interrupted with one or more -O- groups;

R₁' and R₁" are independently selected from the group consisting of:

hydrogen,

alkyl,

alkenyl,

aryl,

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arylalkylenyl,
                           heteroaryl,
                          heteroarylalkylenyl,
                          heterocyclyl,
  5
                          heterocyclylalkylenyl, and
                          alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
                  heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents
                  selected from the group consisting of:
                                  hydroxy,
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                                   alkyl,
                                  haloalkyl,
                                  hydroxyalkyl,
                                  alkoxy,
                                  haloalkoxy,
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                                  halogen,
                                  cyano,
                                  nitro,
                                  amino,
                                  alkylamino,
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                                  dialkylamino,
                                  arylsulfonyl, and
                                  alkylsulfonyl;
                 A' is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and
         -N(Q-R_4)-;
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                 a and b are independently integers from 1 to 6 with the proviso that a + b is \leq 7;
                 R<sub>A1</sub> and R<sub>B1</sub> are independently selected from the group consisting of:
                          hydrogen,
                          halogen,
                          alkyl,
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                          alkenyl,
                          alkoxy,
                         alkylthio, and
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$$-N(R_9)_2$$
;

R₂ is selected from the group consisting of:

 $-R_4$

 $-X-R_4$

-X-Y-R₄, and

 $-X-R_5$;

X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups are optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

$$-S(O)_{0-2}$$
-,

$$-C(R_6)-,$$

$$-C(R_6)-O-,$$

$$-O-C(R_6)-$$
,

$$-N(R_8)-Q-,$$

$$-C(R_6)-N(R_8)-$$
,

$$-O-C(R_6)-N(R_8)-$$
,

$$-C(R_6)-N(OR_9)-$$

$$-N-C(R_6)-N-W R_7$$

$$-N-R_7-N-Q R_7$$

$$-V-N$$

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$$N-C(R_6)-N$$
 R_{10}

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroarylalkylenyl, alkylarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:

$$-N-C(R_6)$$
 $-N-S(O)_2$ $-V-N$ $(CH_2)_a$ A $(CH_2)_b$, and R_{10} $N-C(R_6)-N$ $(CH_2)_b$ A $(CH_2)_b$ $(CH_2)_b$ $(CH_2)_b$ $(CH_2)_b$ $(CH_2)_b$

 R_6 is selected from the group consisting of =O and =S;

 R_7 is C_{2-7} alkylene;

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 R_8 is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

 R_{10} is C_{3-8} alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O) $_{0-2}$ -, -CH $_2$ -, and -N(R $_4$)-;

Q is selected from the group consisting of a bond, $-C(R_6)$ -, $-C(R_6)$ -, $-C(R_6)$ -, $-S(O)_2$ -, $-C(R_6)$ -N(R₈)-W-, $-S(O)_2$ -N(R₈)-, $-C(R_6)$ -O-, and $-C(R_6)$ -N(OR₉)-;

V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-; and

W is selected from the group consisting of a bond, -C(O)-, and $-S(O)_2$ -; or a pharmaceutically acceptable salt thereof.

4. A compound of the formula (IV):

$$NH_2$$
 N
 R_2
 R_{1-1}
 R_{1-1}

IV

5 wherein:

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 R_{1-1} is selected from the group consisting of:

$$-X'-C(O)-N(R_1')(R_1'')$$
 and

$$-X"-C(O)-N$$
 $(CH_2)_b$
 A'
 $(CH_2)_b$

X' is selected from the group consisting of $-CH(R_9)$ -, $-CH(R_9)$ -alkylene-, and $-CH(R_9)$ -alkenylene-;

X" is selected from the group consisting of $-CH(R_9)$ -, $-CH(R_9)$ -alkylene-, and $-CH(R_9)$ -alkenylene-; wherein the alkylene and alkenylene are optionally interrupted with one or more -O- groups;

 R_1 ' and R_1 " are independently selected from the group consisting of:

15 hydrogen,

alkyl,

alkenyl,

aryl,

arylalkylenyl,

20 heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents

selected from the group consisting of:

hydroxy,

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alkyl,
                                     haloalkyl,
                                     hydroxyalkyl,
                                     alkoxy,
  5
                                     haloalkoxy,
                                     halogen,
                                     cyano,
                                     nitro,
                                     amino,
10
                                     alkylamino,
                                     dialkylamino,
                                     arylsulfonyl, and
                                    alkylsulfonyl;
                   A' is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and
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          -N(Q-R_4)-;
                   a and b are independently integers from 1 to 6 with the proviso that a + b is \leq 7;
                   R<sub>a</sub> is selected from the group consisting of:
                                    halogen,
                                    alkyl,
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                                    haloalkyl,
                                    alkoxy, and
                                    -N(R_9)_2;
                  n is an integer from 0 to 4;
                  R<sub>2</sub> is selected from the group consisting of:
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                           -R<sub>4</sub>,
                           -X-R_4,
                           -X-Y-R<sub>4</sub>, and
                           -X-R_5;
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X is selected from the group consisting of alkylene, alkenylene, alkynylene,
arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and
alkynylene groups are optionally interrupted or terminated by arylene, heteroarylene or
heterocyclylene and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

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$$-S(O)_{0-2^-},$$

$$-S(O)_2-N(R_8)-,$$

$$-C(R_6)-,$$

$$-C(R_6)-O-,$$

$$-O-C(R_6)-,$$

$$-O-C(O)-O-,$$

$$-N(R_8)-Q-,$$

$$-C(R_6)-N(R_8)-,$$

$$-C(R_6)-N(OR_9)-,$$

$$-(R_10),$$

$$-N-C(R_6)-N-W-$$

$$R_7,$$

$$-N-R_7-N-Q-$$

$$R_7,$$

$$-V-N$$

$$R_10,$$
and
$$-(N-C(R_6)-N-W-)$$

$$R_10,$$

$$R_{10}$$

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups are unsubstituted or substituted by one or more substituents independently sel ected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy,

heteroarylalkylerneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:

$$-N-C(R_6)$$
 $-N-S(O)_2$ $-V-N$ A R_7 , A $(CH_2)_b$ A R_{10} $N-C(R_6)-N$ $(CH_2)_b$ A $(CH_2)_b$ A $(CH_2)_b$ A $(CH_2)_b$ A $(CH_2)_b$ A $(CH_2)_b$ A $(CH_2)_b$ $(CH_2)_b$

R₆ is selected from the group consisting of =O and =S;

 R_7 is C_{2-7} alkylene;

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R₈ is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)₀₋₂-, -CH₂-, and -N(R₄)-;

Q is selected from the group consisting of a bond, $-C(R_6)$ -, $-C(R_6)$ -, $-C(R_6)$ -, $-C(R_6)$ -N(R₈)-W-, $-C(R_6)$ -N(R₈)-, $-C(R_6)$ -O-, and $-C(R_6)$ -N(OR₉)-;

V is selected from the group consisting of $-C(R_6)$ -, $-O-C(R_6)$ -, $-N(R_8)-C(R_6)$ -, and $-S(O)_2$ -; and

W is selected from the group consisting of a bond, -C(O)-, and $-S(O)_2$ -; or a pharmaceutic ally acceptable salt thereof.

5. A compound of the formula (V):

$$NH_2$$
 N
 R_2
 R_{1-1}

V

wherein:

 R_{1-1} is selected from the group consisting of:

$$-X'-C(O)-N(R_1')(R_1'')$$
 and

$$-X''-C(O)-N$$
 $(CH_2)_b$
 A'
 $(CH_2)_b$

X' is selected from the group consisting of -CH(R₉)-, -CH(R₉)-alkylene-, and -CH(R₉)-alkenylene-;

X" is selected from the group consisting of $-CH(R_9)$ -, $-CH(R_9)$ -alkylene-, and $-CH(R_9)$ -alkenylene-; wherein the alkylene and alkenylene are optionally interrupted with one or more -O- groups;

 R_1 ' and R_1 " are independently selected from the group consisting of:

hydrogen,

alkyl,

10 alkenyl,

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aryl,

arylalkylenyl,

heteroaryl,

heteroarylalk ylenyl,

15 heterocyclyl,

heterocyclyla Ikylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

20 hydroxy,

alkyl,

haloalkyl,

hydroxyalkyl,

alkoxy,

25 haloalkoxy,

halogen,

cyano,

nitro,

amino,

30 alkylamino,

dialkylamino, arylsulfonyl, and alkylsulfonyl;

A' is selected from the group consisting of -O-, -C(O)-, -CH₂-, -S(O)₀₋₂-, and -N(Q-R₄)-;

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7 ; R_c is selected from the group consisting of:

halogen, hydroxy,

10 alkyl,

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alkenyl,

haloalkyl,

alkoxy,

alkylthio, and

15 $-N(R_9)_2$;

n is an integer from 0 to 4;

 R_2 is selected from the group consisting of:

-R₄,

 $-X-R_4$,

 $-X-Y-R_4$, and

 $-X-R_5$;

X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups are optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

-S(O)₀₋₂-, -S(O)₂-N(R₈)-, -C(R₆)-, -C(R₆)-O-, -O-C(R₆)-,

-O-C(O)-O-,

$$-N(R_8)-Q-,$$

$$-C(R_6)-N(R_8)-,$$

$$-O-C(R_6)-N(OR_9)-,$$

$$-(R_6)-N(OR_9)-,$$

$$-N-C(R_6)-N-W-$$

$$R_7$$

$$-N-R_7-N-Q-$$

$$R_{70}$$

$$R_{10}$$

$$R_{10}$$

$$R_{10}$$

$$R_{10}$$

$$R_{10}$$

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroarylalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroarylalkylenyl, heteroarylalkylenyl, alkylheteroarylenyl, and heterocyclyl groups are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:

$$-N-C(R_6)$$
 $-N-S(O)_2$ $-V-N$ A $C(R_6)-N$ A $C(R_6)-N$ A $C(CH_2)_a$ A $C(CH_2)_b$ A $C(CH_2)_b$ A $C(CH_2)_b$ A $C(CH_2)_b$ A $C(CH_2)_b$ A

5

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 R_6 is selected from the group consisting of =0 and =S;

 R_7 is C_{2-7} alkylene;

 R_{δ} is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

 R_{10} is C_{3-8} alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)₀₋₂-, -CH₂-, and -N(R₄)-;

Q is selected from the group consisting of a bond, $-C(R_6)$ -, $-C(R_6)$ -, $-C(R_6)$ -, $-S(O)_2$ -, $-C(R_6)$ - $N(R_8)$ -W-, $-S(O)_2$ - $N(R_8)$ -, $-C(R_6)$ - $N(OR_9)$ -;

V is selected from the group consisting of -C(R₆)-, -O-C(R₆)-, -N(R₈)-C(R₆)-, and -S(O)₂-; and

W is selected from the group consisting of a bond, -C(O)-, and $-S(O)_2$ -; or a pharmaceutically acceptable salt thereof.

6. A compound selected from the group consisting of the formulas (VI, VII, VIII, and IX):

wherein:

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 R_{1-2} is selected from the group consisting of:

-X"-C(O)-N(
$$R_1$$
')(R_1 ") and (CH₂)_a\

-X''-C(O)-N $(CH_2)_b$,

X" is selected from the group consisting of -CH(R₉)-, -CH(R₉)-alkylene-, and
-CH(R₉)-alkenylene-; wherein the alkylene and alkenylene are optionally interrupted with
one or more -O- groups;

```
R<sub>1</sub>' and R<sub>1</sub>" are independently selected from the group consisting of:
                         hydrogen,
                         alkyl,
                         alkenyl,
 5
                         aryl,
                         arylalkylenyl,
                         heteroaryl,
                         heteroarylalkylenyl,
                         heterocyclyl,
10
                         heterocyclylalkylenyl, and
                         alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
                 heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents
                 selected from the group consisting of:
                                 hydroxy,
15
                                 alkyl,
                                 haloalkyl,
                                 hydroxyalkyl,
                                 alkoxy,
                                 haloalkoxy,
20
                                 halogen,
                                 cyano,
                                 nitro,
                                 amino,
                                 alkylamino,
25
                                 dialkylamino,
                                 arylsulfonyl, and
                                 alkylsulfonyl;
                 A' is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and
         -N(Q-R_4)-;
30
                 a and b are independently integers from 1 to 6 with the proviso that a + b is \leq 7;
                 R<sub>b</sub> is selected from the group consisting of:
                                 halogen,
```

hydroxy, alkyl, haloalkyl, alkoxy, and -N(R₉)₂;

m is an integer from 0 to 3;

R₂ is selected from the group consisting of:

 $-R_4$, $-X-R_4$, 10 $-X-Y-R_4$, and $-X-R_5$;

5

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X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups are optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

 $-S(O)_{0-2^-},$ $-S(O)_2-N(R_8)-,$ $-C(R_6)-,$ $-C(R_6)-O-,$ $-O-C(R_6)-,$ -O-C(O)-O-, $-N(R_8)-Q-,$ $-C(R_6)-N(R_8)-,$ $-C(R_6)-N(OR_9)-,$ $-C(R_6)-N(OR_9)-,$ $-N-C(R_6)-N-W-$

$$R_7$$
 , R_7 , R_{10} , and R_{10} , R_{10} , R_{10}

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:

$$-N-C(R_6)$$
 $-N-S(O)_2$ $-V-N$ $(CH_2)_a$ A $(CH_2)_b$, and $-N-C(R_6)-N$ $(CH_2)_b$ A $(CH_2)_b$ A

 R_6 is selected from the group consisting of =O and =S;

 R_7 is C_{2-7} alkylene;

15

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R₈ is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

 R_{10} is C_{3-8} alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)₀₋₂-, -CH₂-, and -N(R₄)-;

Q is selected from the group consisting of a bond, $-C(R_6)$ -, $-C(R_6)$ -C(R_6)-, $-S(O)_2$ -, $-C(R_6)$ -N(R_8)-W-, $-S(O)_2$ -N(R_8)-, $-C(R_6)$ -O-, and $-C(R_6)$ -N(OR₉)-;

V is selected from the group consisting of $-C(R_6)$ -, $-O-C(R_6)$ -, $-N(R_8)$ - $-C(R_6)$ -, and $-S(O)_2$ -; and

W is selected from the group consisting of a bond, -C(O)-, and $-S(O)_2$ -; or a pharmaceutically acceptable salt thereof.

- 7. The compound or salt of claim 1 or claim 2 wherein the fused aryl ring, fused heteroaryl ring, fused 5 to 7 membered saturated ring, or fused 5 to 7 membered saturated ring containing one N or S atom is unsubstituted.
- 8. The compound or salt of claim 3 wherein R_{A1} and R_{B1} are methyl.
- 9. The compound or salt of claim 6 wherein the compound is of the following formula (VI):

$$NH_2$$
 N
 N
 R_2
 N
 R_2
 R_{1-2}

VI.

or a pharmaceutically acceptable salt thereof.

5

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- 20 10. The compound or salt of claim 6 or claim 9 wherein m is 0.
 - 11. The compound or salt of any one of claims 6, 9, or 10 wherein R_{1-2} is

$$-X''-C(O)-N$$
 $(CH_2)_a$
, A' is -O-, and a and b are each 2.

- 25 12. The compound or salt of claim 4 or claim 5 wherein n is 0.
 - 13. The compound or salt of any one of claims 3, 4, 5, 8, and 12 wherein \mathbb{R}_{1-1} is

$$-X''-C(O)-N$$
 A' $(CH_2)_b$ A' , A' is -O-, and a and b are each 2.

- 14. The compound or salt of any one of claims 1 through 5, 7, 8, and 12 wherein X' is $-CH_2-C_{0-10}$ alkylene- or X'' is $-CH_2-C_{0-10}$ alkylene- or $-CH_2-C_{1-4}$ alkylene- $-CC_{1-4}$ alkylene-.
- 15. The compound or salt of claim 14 wherein X' is $-CH_2-C_{0-4}$ alkylene- or X" is $-CH_2-C_{0-4}$ alkylene- or $-CH_2-C_{1-4}$ alkylene-O-C₁₋₄ alkylene-.
- 16. The compound or salt of claim 15 wherein X' is -(CH₂)₁₋₅-, -CH₂C(CH₃)₂-, or -CH₂C(CH₃)₂CH₂-; or X" is -(CH₂)₁₋₅-, -CH₂C(CH₃)₂-, -CH₂C(CH₃)₂CH₂-, or -(CH₂)₃-O-CH₂-.

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18. The compound or salt of claim 17 wherein X' or X" is $-CH_2$ -, $-CH_2CH_2$ -, $-CH_2C(CH_3)_2$ -, or

- 19. The compound or salt of claim 16 or claim 18 wherein X' or X'' is $-CH_2CH_2 or$ $-CH_2C(CH_3)_2$.
- 20. The compound or salt of any one of claims 1 through 14 wherein X" is -CH₂-C₀₋₁₀ alkylene- or -CH₂-C₁₋₄ alkylene-O-C₁₋₄ alkylene-.
 - 21. The compound or salt of claim 20 wherein X" is -CH₂- C_{0-4} alkylene- or

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- -CH₂-C₁₋₄ alkylene-O-C₁₋₄ alkylene-.
- 22. The compound or salt of claim 21 wherein X" is - $(CH_2)_{1-5}$ -, - $CH_2C(CH_3)_2$ -, - $CH_2C(CH_3)_2CH_2$ -, or - $(CH_2)_3$ -O- CH_2 -.

23. The compound or salt of any one of claims 1 through 14, 17, and 20 wherein X" is -CH₂-, -CH₂CH₂-, -CH₂CH₂-, -CH₂C(CH₃)₂-, -CH₂C(CH₃)₂-, or

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$$-CH_2$$
 CH_2 CH_2

5

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The compound or salt of claim 23 wherein X" is -CH₂-, -CH₂CH₂-, -CH₂C(CH₃)₂-, or

$$-CH_2 \overline{\left\langle\right\rangle}$$

$$(CH_2)_{0.3}$$

- 25. The compound or salt of claim 22 or claim 24 wherein X" is -CH₂CH₂- or -CH₂C(CH₃)₂-.
 - 26. The compound or salt of any one of claims 1 through 10, 12, 14 through 19; claims 20 through 22 as dependent on any one of claims 1 through 10, 12, and 14; and claims 23 through 25 as dependent on any one of claims 1 through 10, 12, 14, and 17 wherein R_1 " is hydrogen.
 - 27. The compound or salt of claim 26 wherein R_1 is hydrogen or C_{1-3} alkyl.
 - 28. The compound or salt of claim 27 wherein R_1 ' and R_1 " are hydrogen.
 - 29. The compound or salt of any one of claims 1 through 10, 12, 14 through 19; claims 20 through 22 as dependent on any one of claims 1 through 10, 12, and 14; and claims 23 through 25 as dependent on any one of claims 1 through 10, 12, 14, and 17 wherein A' is -SO₂-, -O-, or -N(Q-R₄)-, and a and b are independently integers from 2 to 3; or A' is

- -CH₂-, and a and b are independently integers from 1 to 3.
- 30. The compound or salt of any one of claims 2 through 6, 8 through 13, and claims 7 and 14 through 29 except as they are dependent on claim 1, wherein R_2 is hydrogen, alkoxyalkylenyl, hydroxyalkylenyl, $-R_4$, $-X-R_4$, or $-X-Y-R_4$; X is C_{1-2} alkylene; Y is $-S(O)_{0-2}$ -, $-S(O)_2$ -N(R_8)-, $-C(R_6)$ -, $-C(R_6)$ -O-, $-O-C(R_6)$ -, -O-C(O)-O-, $-N(R_8)$ -Q-, $-C(R_6)$ -N(R_8)-, $-O-C(R_6)$ -N(R_8)-, or $-C(R_6)$ -N(R_8)-, and R_4 is alkyl.
- 31. The compound or salt of claim 30 wherein R₂ is hydrogen, C₁₋₄ alkyl, hydroxyC₁₋₄ alkylenyl, or C₁₋₄ alkyl-O-C₁₋₄ alkylenyl.
 - 32. The compound or salt of claim 31 wherein R_2 is hydrogen, methyl, ethyl, propyl, butyl, 2-methoxyethyl, ethoxymethyl, hydroxymethyl, or 2-hydroxyethyl.
- 15 33. A pharmaceutical composition comprising a therapeutically effective amount of a compound or salt of any one of claims 1 through 32 and a pharmaceutically acceptable carrier.
- 34. A method of inducing cytokine biosynthesis in an animal comprising administering an effective amount of a compound or salt of any one of claims 1 through 32 or a pharmaceutical composition of claim 33 to the animal.
 - 35. A method of treating a viral disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 32 or a pharmaceutical composition of claim 33 to the animal.
 - 36. A method of treating a neoplastic disease in an animal in need thereof comprising administering a therapeutically effective amount of a compound or salt of any one of claims 1 through 32 or a pharmaceutical composition of claim 33 to the animal.

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37. A compound of the formula (XIV):

$$(R_a)_n$$

XIV

wherein:

5 R_{1-1} is selected from the group consisting of:

$$-X'-C(O)-N(R_1')(R_1'')$$
 and

$$-X''-C(O)-N$$
 $(CH_2)_b$
 A'
 $(CH_2)_b$

X' is selected from the group consisting of -CH(R₉)-, -CH(R₉)-alkylene-, and -CH(R₉)-alkenylene-;

10 X" is selected from the group consisting of -CH(R₉)-, -CH(R₉)-alkylene-, and -CH(R₉)-alkenylene-; wherein the alkylene and alkenylene are optionally interrupted with one or more -O- groups;

R₁' and R₁" are independently selected from the group consisting of:

hydrogen,

15 alkyl,

alkenyl,

aryl,

arylalkylenyl,

heteroaryl,

20 heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents

selected from the group consisting of:

hydroxy,

alkyl,

```
haloalkyl,
                                    hydroxyalkyl,
                                    alkoxy,
                                    haloalkoxy,
  5
                                    halogen,
                                    cyano,
                                    nitro,
                                    amino,
                                    alkylamino,
10
                                    dialkylamino,
                                    arylsulfonyl, and
                                    alkylsulfonyl;
                   A' is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and
          -N(Q-R_4)-;
15
                   a and b are independently integers from 1 to 6 with the proviso that a + b is \leq 7;
                  R<sub>a</sub> is selected from the group consisting of:
                                   halogen,
                                    alkyl,
                                   haloalkyl,
20
                                    alkoxy, and
                                   -N(R_9)_2;
                  n is an integer from 0 to 4;
                  R<sub>2</sub> is selected from the group consisting of:
                           -R_4
25
                           -X-R_4
                           -X-Y-R<sub>4</sub>, and
                           -X-R<sub>5</sub>;
                  X is selected from the group consisting of alkylene, alkenylene, alkynylene,
```

X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups are optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

30

$$-S(O)_{0-2},$$

$$-S(O)_{2}-N(R_{8})-,$$

$$-C(R_{6})-,$$

$$-C(R_{6})-O-,$$

$$-O-C(R_{6})-,$$

$$-O-C(O)-O-,$$

$$-N(R_{8})-Q-,$$

$$-C(R_{6})-N(R_{8})-,$$

$$-C(R_{6})-N(OR_{9})-,$$

$$-N-C(R_{6})-N-W-$$

$$R_{7}$$

$$-N-R_{7}-N-Q-$$

$$R_{7}$$

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R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl,

heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino,

(dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:

$$-N-C(R_6)$$
 $-N-S(O)_2$ $-V-N$ A R_7 , and R_{10} $N-C(R_6)-N$ $C(H_2)_a$ A

 R_6 is selected from the group consisting of =O and =S;

 R_7 is C_{2-7} alkylene;

5

10

15

 R_8 is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)₀₋₂-, -CH₂-, and -N(R₄)-;

Q is selected from the group consisting of a bond, $-C(R_6)$ -, $-C(R_6)$ -, $-C(R_6)$ -, $-S(O)_2$ -, $-C(R_6)$ - $N(R_8)$ -W-, $-S(O)_2$ - $N(R_8)$ -, $-C(R_6)$ - $N(OR_9)$ -;

V is selected from the group consisting of $-C(R_6)$ -, $-O-C(R_6)$ -, $-N(R_8)-C(R_6)$ -, and $-S(O)_2$ -; and

W is selected from the group consisting of a bond, -C(O)-, and $-S(O)_2$ -; or a pharmaceutically acceptable salt thereof.

20 38. A compound of the formula (XV):

$$N$$
 N
 N
 R_{1-2}
 $(R_b)_m$

XV

wherein:

 R_{1-2} is selected from the group consisting of:

25 $-X''-C(O)-N(R_1')(R_1'')$ and

$$-X''-C(O)-N$$
 $(CH_2)_a$
 A'
 $(CH_2)_b$
;

X'' is selected from the group consisting of -CH(R₉)-, -CH(R₉)-alkylene-, and -CH(R₉)-alkenylene-; wherein the alkylene and alkenylene are optionally interrupted with one or more -O- groups;

5 R_1 ' and R_1 " are independently selected from the group consisting of: hydrogen, alkyl,

alkenyl, aryl,

10 arylalkylenyl,

heteroaryl,

heteroarylalkylenyl,

heterocyclyl,

heterocyclylalkylenyl, and

alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl, heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents selected from the group consisting of:

hydroxy,

alkyl,

20 haloalkyl,

hydroxyalkyl,

alkoxy,

haloalkoxy,

halogen,

25 cyano,

nitro,

amino,

alkylamino,

dialkylamino,

30 arylsulfonyl, and

alkylsulfonyl;

A' is selected from the group consisting of -O-, -C(O)-, -CH₂-, -S(O)₀₋₂-, and -N(Q-R₄)-;

a and b are independently integers from 1 to 6 with the proviso that a + b is ≤ 7 ; R_b is selected from the group consisting of:

halogen,

hydroxy,

alkyl,

haloalkyl,

alkoxy, and

 $-N(R_9)_2;$

m is an integer from 0 to 3;

R₂ is selected from the group consisting of:

 $-R_4$,

15 $-X-R_4$,

-X-Y-R₄, and

-X-R₅;

X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups are optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

 $-S(O)_{0-2}$ -,

 $-S(O)_2-N(R_8)-,$

25

20

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 $-C(R_6)-$

 $-C(R_6)-O-,$

 $-O-C(R_6)-$,

-O-C(O)-O-,

 $-N(R_8)-Q_{-}$

30

 $-C(R_6)-N(R_8)-,$

 $-O-C(R_6)-N(R_8)-$,

-C(R₆)-N(OR₉)-,

$$N-Q R_{10}$$
 $N-Q R_{10}$
 $N-Q R_{7}$
 $N-Q R_{7}$
 $N-Q R_{7}$
 $N-Q R_{7}$
 $N-Q R_{7}$
 $N-Q R_{7}$
 $N-Q R_{10}$
 R_{10}
 R_{10}
 R_{10}
 R_{10}

5

15

20

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl,

heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino,

(dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:

$$-N-C(R_6)$$
 $-N-S(O)_2$ $-V-N$ A R_7 , and R_{10} $N-C(R_6)-N$ A $C(CH_2)_a$ A $C(CH_2)_b$ A $C(CH_2)_b$ A $C(CH_2)_b$ A

R₆ is selected from the group consisting of =O and =S;

 R_7 is C_{2-7} alkylene;

 R_8 is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

R₁₀ is C₃₋₈ alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)₀₋₂-, -CH₂-, and -N(R₄)-;

Q is selected from the group consisting of a bond, $-C(R_6)$ -, $-C(R_6)$ -, $-S(O)_2$ -, $-C(R_6)$ - $N(R_8)$ -W-, $-S(O)_2$ - $N(R_8)$ -, $-C(R_6)$ - $N(OR_9)$ -;

V is selected from the group consisting of $-C(R_6)$ -, $-O-C(R_6)$ -, $-N(R_8)-C(R_6)$ -, and $-S(O)_2$ -; and

W is selected from the group consisting of a bond, -C(O)-, and $-S(O)_2$ -; or a pharmaceutically acceptable salt thereof.

39. A compound of the formula (XVI):

XVI

wherein:

5

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 R_{1-1} is selected from the group consisting of:

$$-X'-C(O)-N(R_1')(R_1'')$$
 and

$$-X"-C(O)-N \xrightarrow{(CH_2)_a} A'$$

$$(CH_2)_b \xrightarrow{A'}$$

20 X' is selected from the group consisting of -CH(R₉)-, -CH(R₉)-alkylene-, and -CH(R₉)-alkenylene-;

X'' is selected from the group consisting of -CH(R₉)-, -CH(R₉)-alkylene-, and -CH(R₉)-alkenylene-; wherein the alkylene and alkenylene are optionally interrupted with one or more -O- groups;

25 R_1' and R_1'' are independently selected from the group consisting of: hydrogen, alkyl,

```
alkenyl,
                          aryl,
                          arylalkylenyl,
                          heteroaryl,
 5
                          heteroarylalkylenyl,
                          heterocyclyl,
                          heterocyclylalkylenyl, and
                          alkyl, alkenyl, aryl, arylalkylenyl, heteroaryl, heteroarylalkylenyl,
                 heterocyclyl, or heterocyclylalkylenyl, substituted by one or more substituents
10
                 selected from the group consisting of:
                                  hydroxy,
                                  alkyl,
                                  haloalkyl,
                                  hydroxyalkyl,
15
                                  alkoxy,
                                  haloalkoxy,
                                  halogen,
                                  cyano,
                                  nitro,
20
                                  amino,
                                  alkylamino,
                                  dialkylamino,
                                  arylsulfonyl, and
                                  alkylsulfonyl;
                 A' is selected from the group consisting of -O-, -C(O)-, -CH<sub>2</sub>-, -S(O)<sub>0-2</sub>-, and
25
         -N(Q-R_4)-;
                 a and b are independently integers from 1 to 6 with the proviso that a + b is \leq 7;
                 R<sub>A1</sub> and R<sub>B1</sub> are independently selected from the group consisting of:
                         hydrogen,
30
                         halogen,
                         alkyl,
                         alkenyl,
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alkoxy, alkylthio, and
$$-N(R_9)_2$$
;

R₂ is selected from the group consisting of:

5 -R₄, -X-R₄, -X-Y-R₄, and -X-R₅;

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X is selected from the group consisting of alkylene, alkenylene, alkynylene, arylene, heteroarylene, and heterocyclylene wherein the alkylene, alkenylene, and alkynylene groups are optionally interrupted or terminated by arylene, heteroarylene or heterocyclylene and optionally interrupted by one or more -O- groups;

Y is selected from the group consisting of:

$$-S(O)_{0-2}^{-},$$

$$-S(O)_{2}^{-}N(R_{8})^{-},$$

$$-C(R_{6})^{-},$$

$$-C(R_{6})^{-}O^{-},$$

$$-O^{-}C(O)^{-}O^{-},$$

$$-O^{-}C(O)^{-}O^{-},$$

$$-N(R_{8})^{-}Q^{-},$$

$$-C(R_{6})^{-}N(R_{8})^{-},$$

$$-C(R_{6})^{-}N(R_{8})^{-},$$

$$-C(R_{6})^{-}N(OR_{9})^{-},$$

$$-N^{-}C(R_{6})^{-}N^{-}W^{-}$$

$$-N^{-}C(R_{6})^{-}N^{-}W^{-}$$

$$-N^{-}C(R_{6})^{-}N^{-}W^{-}$$

$$-N^{-}C(R_{6})^{-}N^{-}W^{-}$$

$$-V-N$$
, and R_{10} , and R_{10}

R₄ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, 5 heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl wherein the alkyl, alkenyl, alkynyl, aryl, arylalkylenyl, aryloxyalkylenyl, alkylarylenyl, heteroaryl, heteroarylalkylenyl, heteroaryloxyalkylenyl, alkylheteroarylenyl, and heterocyclyl groups are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, alkoxy, hydroxyalkyl, haloalkyl, haloalkoxy, halogen, nitro, hydroxy, mercapto, cyano, aryl, aryloxy, arylalkyleneoxy, heteroaryl, heteroaryloxy, heteroarylalkyleneoxy, heterocyclyl, amino, alkylamino, dialkylamino, (dialkylamino)alkyleneoxy, and in the case of alkyl, alkenyl, alkynyl, and heterocyclyl, oxo;

R₅ is selected from the group consisting of:

$$-N-C(R_6)$$
 $-N-S(O)_2$ $-V-N$ $(CH_2)_a$ A $(CH_2)_b$ A $(CH_2)_b$ A $(CH_2)_b$ A $(CH_2)_b$ A

 R_6 is selected from the group consisting of =0 and =S;

 R_7 is C_{2-7} alkylene;

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R₈ is selected from the group consisting of hydrogen, alkyl, alkoxyalkylenyl, and arylalkylenyl;

R₉ is selected from the group consisting of hydrogen and alkyl;

 R_{10} is C_{3-8} alkylene;

A is selected from the group consisting of -O-, -C(O)-, -S(O)₀₋₂-, -CH₂-, and $-N(R_4)-;$

Q is selected from the group consisting of a bond, $-C(R_6)$ -, $-C(R_6)$ -, $-C(R_6)$ -, $-S(O)_2$ -, $-C(R_6)-N(R_8)-W-$, $-S(O)_2-N(R_8)-$, $-C(R_6)-O-$, and $-C(R_6)-N(OR_9)-$;

V is selected from the group consisting of $-C(R_6)$ -, $-O-C(R_6)$ -, $-N(R_8)-C(R_6)$ -, and

 $-S(O)_2$ -; and

W is selected from the group consisting of a bond, -C(O)-, and -S(O)₂-; or a pharmaceutically acceptable salt thereof.

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